WPI ACC NO: 1994-185172/199423
Sustained-release compsn. for hormone drugs, pref. LHRH antagonists, - contains biodegradable carboxyl-contg. polymer e.g. lactic acid glycolic acid copolymer, providing constant release of drug without initial burst
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Alerting Abstract EP A1

A sustained-release cpd. comprising a peptide of formula (I) and a biodegradable polymer with a terminal COOH gp. In the formulae, X = acyl; R1,R2,R4 = aromatic cyclic; R3 = D-amino acid residue or gp. of formula(i); R3' = heterocyclic; R5 = (CH2)n-R5', n = 2 or 3 R5' = amino (opt. substd.) aromatic cyclic or O-glycosyl; R6 = (CH2)n-R6', R6' = amino (opt. substd.); R7 = D-amino acid residue or azaglycyl residue; Q = H or lower alkyl (or their salts) and a biodegradable polymer with a terminal carboxyl gp.

Pref. X = 2-7C alkanoyl (opt. substd. by 5-6 membered heterocyclic carboximido),pref. 2-4C alkanoyl (opt. substd. by tetrahydrofuryl carboxamide) or more pref. acetyl; and the biodegradable polymer is a mixt. of a copolymer of glycolic acid and a cpd. HO - CHR - COOH (R = 2-8C alkyl) and a polylactic acid, or is a copolymer of lactic acid and glycolic acid.

USE/ADVANTAGE - (I) is a LHRH antagonist. It is useful to treat hormone-dependent diseases e.g. prostrate cancer, benign prostatomegaly, endometriosis, hysteromyoma, metrofiroma, precocious puberty, mammary cancer etc., or as contraceptives. The competitive inhibition of LHRH is persistent and the sustained-release prepn. shows a constant release of the peptide over a long time (1 - 3 months), without an initial burst. (I) has low toxicity and can be used in a wide range of mammals.